N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(4-pyridyloxy)propyl]oxalamide		425	579
N-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[1,1-dimethyl-3-(1- oxido-4-pyridyloxy)propyl] oxalamide		441	580
N-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[1,1-dimethyl-3-(2,6- dimethyl-4-pyridyloxy)propyl] oxalamide		453	581
N-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[1,1-dimethyl-3-(2,6- dimethyl-1-oxido-4-pyridyloxy) propyl]oxalamide		469	582
N-[2-(4-Cyanophenoxy)-1,1- dimethylethyl]-N'-[3-methoxy-4- (5-oxazolyl)phenyl]oxalamide	St. St. Other	435	583
N-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[3-(2-methoxy-4- pyridyloxy)-1,1-dimethylpropyl] oxalamide	Control of	455	584
N-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[1,1-dimethyl-2-[4- (1H-tetrazol-5-yl)phenoxy]ethyl] oxalamide		478	585
N-[3-(4-Cyanophenoxy)-1,1- dimethylpropyl]-N'-[3-methoxy-4- (5-oxazolyl)phenyl]oxalamide		449	586
N-[2-(3-Cyanophenoxy)-1,1- dimethylethyl]-N'-[3-methoxy-4- (5-oxazolyl)phenyl]oxalamide		476	587
N-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[1,1-dimethyl-2-[3- (1H-tetrazol-5-yl)phenoxy]ethyl] oxalamide		478	588
N-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[1,1-dimethyl-3-[4- (1H-tetrazol-5-yl)phenoxy]propyl] oxalamide		492	589
Benzyl 4-[2-[1-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-1-cyclobutyl]ethoxy]benzoate	٥٠٠٥٠٥١	570.2	590

Benzyl 4-[2-[1-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-1-cyclopentyl]ethoxy]benzoate	صافعاتان	584.3	591
Benzyl 4-[2-[1-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-1-cyclohexyl]ethoxy]benzoate	مام کابات	598.3	592
4-[2-[1-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-1-cyclopentyl]ethoxy]benzoic acid		494.2	593
4-[2-[1-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-1-cyclohexyl]ethoxy]benzoic acid	inil.ci.	508.2	594
4-[2-[1-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl)amino]-1-cyclobutyl]ethoxy]benzoic acid		480.2	595
Benzyl 2-methoxy-4-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoate		588	635
3-Chloro-4-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoic acid	THE CH. COM	502	636
2-Methoxy-4-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoic acid	E Car	498	637
3-Methoxy-4-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]- 3-methylbutoxy]benzoic acid		498	638
4-[2-[1-[[[3-Methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-1-cyclopropyl]ethoxy]benzoic acid	Q(1,X-0,"	466	639
2-Chloro-4-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoic acid	"Ci Cat	502	640
4-[3-[[[3-Methoxy-4-(5-oxazolyl) anilino]oxalyl]amino]-3- methylbutoxy]-2- quinolinecarboxylic acid		519	641

(cis/trans)-4-[3-[[[3-Methoxy-4- (5-oxazolyl)anilino]oxalyl]amino]- 3-methylbutoxy]-1- cyclohexanecarboxylic acid	N N N N N N N N N N N N N N N N N N N	474	642
(cis/trans)-4-[2-[[[3-Methoxy-4- (5-oxazolyl)anilino]oxalyl]amino]- 2-methylpropoxy]-1- cyclohexanecarboxylic acid	N N N N N O O O O O O O O	460	643
3-Fluoro-4-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutoxy]benzoic acid	NEO O'C	486	644
3-Acetamido-4-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]- 3-methylbutoxy]benzoic acid	N S N S N S OH	525	645
3-(Methanesulfonamido)-4-[3- [[[3-methoxy-4-(5- oxazolyl)anilino]oxalyl]amino]-3- methylbutoxy]benzoic acid	N N N N N N N N N N N N N N N N N N N	561	646
4-[3-[[[3-Methoxy-4-(5-oxazolyl) anilino]oxalyl]amino]-3- methylbutoxy]-3,5- dimethylbenzoic acid	N°C O'N N N N N N N N N N N N N N N N N N N	496	647
3-[3-[[[3-Methoxy-4-(5-oxazolyl) anilino]oxalyl]amino]-3- methylbutoxy]-2- pyridinecarboxylic acid	N N N O O O O O O O O O O O O O O O O O	469	648
8-[3-[[[3-Methoxy-4-(5-oxazolyl) anilino]oxalyl]amino]-3- methylbutoxy]-2- quinolinecarboxylic acid		519	649
5-[3-[[[3-Methoxy-4-(5-oxazolyl) anilino]oxalyl]amino]-3- methylbutoxy]-2-indolecarboxylic acid		507	650

Examples 615-631 and 664-670

5 Example 615

N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(phenylthio)ethyl] oxalamide.

 $(i) \qquad \text{A mixture of 2g (17.7 mmol) of 2,4,4-trimethyl-2-oxazoline and 1.95 g (17.7 mmol) of thiophenol were heated at 120C for 18 hours. After cooling the resulting solid was triturated}\\$

with diethyl ether/petrol (1:2) and filtered off to give 2.55 g of N-[1,1-dimethyl-2-(phenylthio)ethyl]acetamide as a white solid.

(ii) A solution of 2.5 g (11.2 mmol) of N-[1,1-dimethyl-2-(phenylthio)ethyl]acetamide, 3.18 g (11.2 mmol) of titanium isopropoxide and 3.09 g (16.8 mmol) of diphenylsilane in 12 ml of tetrahydrofuran were stirred at room temperature for 18 hours. The resulting mixture was chromatographed on silica gel using 3%, 6% and 10% methanol in dichloromethane for the elution. There was obtained 2 g of 1,1-dimethyl-2-(phenylthio)ethylamine as a pale orange oil. The 1,1-dimethyl-2-(phenylthio)ethylamine was then coupled to N-[3-methoxy-4-(5oxazoyl)phenyl oxalamic acid by a procedure analogous to that described in example 1 to afford N-[3-methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(phenylthio)ethyl]oxalamide. MS: m/e 426 [M+H]*.

Example 616 was prepared by an analogous method to that described for example 615 but using 4-benzyloxythiophenol in place of the thiophenol and removing the protecting group using a mixture of hydrogen bromide in acetic acid.

The additional compounds in table 1f² were prepared in an analogous manner to that described for example 615 by reaction of the appropriate thiol with either 2,4,4-trimethyl-2-oxazoline or 2,4,4-trimethyl-5,6-dihydro-1,3(4H)oxazine and, where necessary, removal of any protecting groups by conventional methods.

table 1f2

Name	Structure	MS(ES) (M+H) ⁺	Ex No
N-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[1,1-dimethyl-2- (phenylthio)ethyl]oxalamide	N OHC OL	426	615
N-[2-(4-Hydroxyphenylthio)-1,1- dimethylethyl]-N'-[3-methoxy-4- (5-oxazolyl)phenyl]oxalamide		442	616
N-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[1,1-dimethyl-2- (phenylthio)ethyl]oxalamide		440	617

N-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[1,1-dimethyl-2-(2- pyridylthio)ethyl]oxalamide	NC COL	427	618
N-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[1,1-dimethyl-3-(2- pyridylthio)propyl]oxalamide		441	619
N-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[1,1-dimethyl-3-(2- thienylthio)propyl]oxalamide	To oak	446	620
N-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[1,1-dimethyl-3-(2- pyrimidylthio)propyl]oxalamide		442	621
N-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[1,1-dimethyl-3-(4- pyridylthio)propyl]oxalamide		441	622
N-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[1,1-dimethyl-3-(2- thiazolylthio)propyl]oxalamide		447	623
N-[3-(4-Hydroxyphenylthio)-1,1- dimethylpropyl]-N'-[3-methoxy-4- (5-oxazolyl)phenyl]oxalamide	N CH CH CH	456	624
N-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[1,1-dimethyl-3-(5- methyl-1,3,4-thiadiazol-2-ylthio) propyl]oxalamide	Contraction of the contraction o	462	625
N-[3-(2-Benzooxazolylthio)-1,1- dimethylpropyl]-N'-[3-methoxy-4- (5-oxazolyl)phenyl]oxalamide		481	626
N-[3-(2-Benzothiazolylthio)-1,1- dimethylpropyl]-N'-[3-methoxy-4- (5-oxazolyl)phenyl]oxalamide	CI COM	497	627
Methyl 4-[2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropylthio]benzoate	\$pirtor.	484	628
tert-Butyl 6-[3-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-3-methylbutylthio]-3-pyridinecarboxylate		541	629
6-[3-[[[3-Methoxy-4-(5-oxazolyl) anilino]oxalyl]amino]-3- methylbutylthio]-3- pyridinecarboxylic acid trifluoroacetate (1:1)		485	630

4-[3-[[[3-Methoxy-4-(5-oxazolyl) anilino]oxalyl]amino]-3- methylbutylthio]benzoic acid	"CI COM	484	631
N-[2-(4-Benzyloxyphenylthio)-1,1- dimethylethyl]-N'-[3-methoxy-4- (5-oxazolyl)phenyl]oxalamide	Nº 9° Nº Nº Nº S O	532	664
N-[2-(4-Benzyloxyphenylthio)-1,1- dimethylpropyl]-N'-[3-methoxy-4- (5-oxazolyl)phenyl]oxalamide	ME SUNT NO CO	546	665
2-[3-[[[3-Methoxy-4-(5-oxazolyl) anilino]oxalyl]amino]-3- methylbutylthio]-5- benzoxazolecarboxylic acid		525	666
N-[3-(1H-Imidazol-2-ylthio)-1,1- dimethylpropyl]-N'-[3-methoxy-4- (5-oxazolyl)phenyl]oxalamide		430	667
2-[3-[[[3-Methoxy-4-(5-oxazolyl) anilino]oxalyl]amino]-3-methylbutylthio]-3-pyridinecarboxylic acid trifluoroacetate (1:1)	N-2 0 FF-0 OH	485	668
4-[2-[[[3-methoxy-4-(5-oxazolyl)anilino]oxalyl]amino]-2-methylpropylthio]benzoic acid	Cirix, C.	470	669
2-[3-[[[3-Methoxy-4-(5-oxazolyl) anilino]oxalyl]amino]-3- methylbutylthio]-6- benzoxazolecarboxylic acid	osixx	525	670

Examples 632-634

- The compounds in table 1f³ were prepared in an analogous manner to that described for

 example 398 in table 1f⁴ by replacing the 4-nitrophenol with the appropriate aniline and
 reaction with either 2,4,4-trimethyl-2-oxazoline or 2,4,4-trimethyl-5,6-dihydro1,3(4H)oxazine and, where necessary, removal of any protecting groups by conventional
 - 1,3(4H)oxazine and, where necessary, removal of any protecting groups by conventional methods.

table 1f3

Name	Structure	MS(ES) (M+H) ⁺	Ex No
N-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[1,1-dimethyl-2-(N- methylanilino) ethyl] oxalamide	NC O COTS HICK	423	632
N-(3-Anilino-1,1-dimethylpropyl)- N'-[3-methoxy-4-(5-oxazolyl) phenyl]oxalamide hydrochloride (1:1)	N C C C C C C C C C C C C C C C C C C C	423	633
4-[3-[[[3-Methoxy-4-(5-oxazolyl) anilino]oxalyl]amino]-3- methylbutylamino]benzoic acid	NOT SOM	467	634

Examples 407-414; 459-541 and 651-652

Typical methods used for the preparation of the compounds of table 1g are described below: <u>Example 408</u>.

N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[2-[4-(4-methoxyphenyl)-1-piperazinyl]-1, 1-dimethylethyl] oxalamide.

- (i) A stirred solution of 3.23 g (16.8 mmol) of 1-(4-methoxyphenyl)piperazine, 2.00 g (16.8 mmol) of 2-methyl-2-nitropropan-1-ol and 5.34 g (50.4 mmol) of sodium carbonate in 40ml of n-butanol was refluxed for 16h. The reaction mixture was allowed to cool and diluted with 100ml of dichloromethane. The solution was filtered and concentrated in vacuo. The residue was purified by flash chromatography on silica gel using petroleum ether/ethyl acetate (10:1) for the elution to afford 1.86 g (6.34 mmol, 38%) of 1-(4-methoxyphenyl)-4-(2-methyl-2-nitropropyl)piperazine as a white solid.
- (ii) A solution of 1.86 g (6.34 mmol) of 1-(4-methoxyphenyl)-4-(2-methyl-2-nitropropyl)piperazine and 0.5 g of palladium on activated charcoal in 50 ml of ethanol was stirred at room temperature under an atmosphere of hydrogen for 48h. The reaction mixture was filtered and the filtrate concentrated in vacuo to afford 1.59 g (6.04 g mmol, 95%) of 2-[4-(4-methoxyphenyl)-piperazin-1-yl)-1,1-dimethylethylamine as a clear oil. The 2-[4-(4-methoxyphenyl)-piperazin-1-yl)-1,1-dimethylethylamine was then coupled to N-[3-methoxy-4-(5-oxazoyl)phenyl oxalamic acid by a procedure analogous to that described in example 1 to

afford N-[3-methoxy-4-(5-oxazolyl)phenyl]-N'-[2-[4-(4-methoxyphenyl)-1-piperazinyl]-1,1-dimethylethyl]oxalamide as a white solid. MS: m/e 508 [M+H]*.

Examples 407, 409, 410, 411, 412 and similar structures were prepared by an analogous procedure by replacing the 1-(4-methoxyphenyl)piperazine with the appropriately substituted piperazine.

Examples 413 and 414 were prepared by an analogous procedure by replacing the 1-(4-methoxyphenyl)piperazine with t-butyl-1-piperazine carboxylate to give 4-(2-amino-2-methylpropyl)piperazine-1-carboxylic acid t-butyl ester which was then coupled to N-[3-methoxy-4-(5-oxazoyl)phenyl oxalamic acid. The resulting product could then be deprotected to give N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(1-piperazinyl)ethyl]oxalamide that could be used for the preparation of examples 413 , 414 and a variety of additional N-acyl and N-sulfonyl derivatives, such as those shown in table 1g, by using the appropriate acylating or sulfonylating reagent.

Example 489.

 $\label{eq:N-[2-[4-(Cyclohexylmethyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl] oxalamide.$

A stirred solution of 48mg of N-[3-methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(1-piperazinyl)ethyl]oxalamide (1.2mmol) and 13mg of cyclohexanecarboxaldehyde (1.2mmol) in 1ml of a 5% acetic acid / dichloromethane mixture was treated with a solution of 38mg of sodium triacetoxyborohydride (1.8mmol) in 1ml of a 5% acetic acid / dichloromethane mixture. After stirring overnight at room temperature the reaction mixture was diluted with 10ml of dichloromethane and washed with 8ml of a sodium bicarbonate solution followed by 8ml of water. The organic layer was then evaporated and purified using flash chromatography on a silica gel column eluting with 5% methanol / dichloromethane to give after evaporation of the fractions 14.3mg (0.3 mmol, 25%) of N-[2-[4-(cyclohexylmethyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide in the form of a white solid. MS: m/e 498.2 [M+H]⁺.

Additional N-alkylated compounds shown in table 1g were prepared by analogous methods.

table 1g Name Structure MS(ES) Ex No $(M+H)^{\dagger}$ N-[3-Methoxy-4-(5oxazolyl)phenyl]-N'-[1,1-dimethyl-2-478 407 (4-phenyl-1piperazinyl)ethyl]oxalamide N-[3-Methoxy-4-(5oxazolyl)phenyl]-N'-[2-[4-(4-508 408 methoxyphenyl)-1-piperazinyl]-1,1dimethylethyl oxalamide N-[3-Methoxy-4-(5oxazolvl)phenvl]-N'-[2-[4-(3-508 409 methoxyphenyl)-1-piperazinyl]-1,1dimethylethyl]oxalamide N-[3-Methoxy-4-(5oxazolyl)phenyl]-N'-[1,1-dimethyl-3-492 410 (4-phenyl-1piperazinyl)propyl oxalamide N-[3-Methoxy-4-(5oxazolyl)phenyl]-N'-[2-[4-(2-508 411 methoxy-phenyl)-1-piperazinyl]-1,1dimethylethyl]oxalamide N-[2-(4-Benzyl-1-piperazinyl)-1,1dimethylethyl]-N'-[3-methoxy-4-(5-492 412 oxazolyl)phenylloxalamide N-[2-[4-(Benzenesulfonyl)-1piperazinyl]-1,1-dimethylethyl]-N'-452 413 [3-methoxy-4-(5oxazolyl)phenyl]oxalamide N-[2-(4-Benzoyl-1-piperazinyl)-1,1dimethylethyl]-N'-[3-methoxy-4-(5-506 414 oxazolyl)phenyl loxalamide N-[2-[4-[4-(Trifluoromethyl)phenyl]-1-546 459 piperazinyl]-1,1-dimethylethyl]-N'-3-methoxy-4-(5oxazolyl)phenyl]oxalamide N-[3-Methoxy-4-(5oxazolyl)phenyl]-N'-[1,1-dimethyl-2-492 460 [4-(2-methylphenyl)-1piperazinyl]ethyl]oxalamide

N-[2-[4-(2-Fluorophenyl)-1-			
piperazinyl]-1,1-dimethylethyl]-N'-	Talian	496	461
[3-methoxy-4-(5-	~N1.2.		
oxazolyl)phenyl]oxalamide	1€6		
N-[2-[4-(4-Fluorophenyl)-1-			
piperazinyl]-1,1-dimethylethyl]-N'-		496	462
[3-methoxy-4-(5-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\		
oxazolyl)phenyl]oxalamide	°€6		
N-[3-Methoxy-4-(5-	2.		
oxazolyl)phenyl]-N'-[2-[4-(2-	P. 9 P. CP	508	463
methoxyphenyl)-1-piperazinyl]-1,1-			
dimethylethyl]oxalamide	CT.		
N-[3-Methoxy-4-(5-	9.53		
oxazolyl)phenyl]-N'-[1,1-dimethyl-2-	on proper 18	548	464
[4-(2-thiophenesulfonyl)-1-			
piperazinyl]ethyl]oxalamide	(J -		
N-[3-Methoxy-4-(5-	HC CON		
oxazolyl)phenyl]-N'-[1,1-dimethyl-2-	94 PHE PHE PHE PHE	584.1	465
[4-(2,4,6-trimethylbenzenesulfonyl)-			
1-piperazinyl]ethyl]oxalamide	Q.		
N-[2-[4-(4-Fluorobenzenesulfonyl)-	~		
1-piperazinyl]-1,1-dimethylethyl]-N'-	or one or	560.1	466
[3-methoxy-4-(5-	interest	200.1	100
oxazolyl)phenyl]oxalamide			
N-[2-[4-(Trifluoromethanesulfonyl)-	يز ه		
1-piperazinyl]-1,1-dimethylethyl]-N'-	PH PHOOL TO	534	467
[3-methoxy-4-(5-		551	10,
oxazolyl)phenyl)]oxalamide	(I)		
N-[2-[4-(Isopropylsulfonyl)-1-	, qu		
piperazinyl]-1,1-dimethylethyl]-N'-	PH PHESEM TO SON	508.1	468
[3-methoxy-4-(5-		200.1	100
oxazolyl)phenyl)]oxalamide			
(E)-N-[3-Methoxy-4-(5-			
oxazolyl)phenyl]-N'-[1,1-dimethyl-2-	OL SHE OL ST	568.1	469
[4-(styrylsulfonyl)-1-	SANTON .	500.1	107
piperazinyl]ethyl]oxalamide	\$~~·		
N-[2-[4-(Ethanesulfonyl)-1-	9 000		
piperazinyl]-1,1-dimethylethyl]-N'-	CHI PHC OH TO CH	494.1	470
[3-methoxy-4-(5-		T/T.1	4/0
oxazolyl)phenyl]oxalamide	[[]		
N-[3-Methoxy-4-(5-	8 ~ .ch		
oxazolyl)phenyl]-N'-[1,1-dimethyl-2-	SH BHOOM DEC.	508.1	471
[4-(propanesulfonyl)-1-		500.1	7/1
piperazinyl]ethyl]oxalamide	W -		
N-[2-[4-(3-Chloropropanesulfonyl)-	9000		
1-piperazinyl]-1,1-dimethylethyl]-N'-	an budon Ago	542.1	472
[3-methoxy-4-(5-		J42.1	4/2
oxazolyl)phenyl oxalamide			
Oxazoryi/pitettyi]Oxaramide			L

N-[3-Methoxy-4-(5- oxazolyl)phenyl]-N'-[1,1-dimethyl-2-	م نہ	5561	472
[4-(o-toluenesulfonyl)-1-		556.1	473
piperazinyl ethyl oxalamide			
N-[2-[4-(2-Fluorobenzenesulfonyl)-	-		
1-piperazinyl]-1,1-dimethylethyl]-N'-	ا 0بد ا	F CO 1	454
[3-methoxy-4-(5-		560.1	474
oxazolyl)phenyl]oxalamide			1
N-[2-[4-(2-Cyanobenzenesulfonyl)-			
1-piperazinyl]-1,1-dimethylethyl]-N'-	ا کید ا	567.1	475
[3-methoxy-4-(5-		567.1	475
oxazolyl)phenyl]oxalamide			
N-[3-Methoxy-4-(5-	HG.		
oxazolyl)phenyl]-N'-[2-[4-(3,5-	200	561.1	476
dimethyl-4-isoxazolylsulfonyl)-1-		361.1	4/6
piperazinyl]-1,1-dimethyl-	S .		
ethyl]oxalamide	N-		
N-[2-[4-(5-Fluoro-2-	,		
methylbenzenesulfonyl)-1-		574.1	477
piperazinyl]-1,1-dimethylethyl]-N'-	Calcola I	3/4.1	4//
[3-methoxy-4-(5-	ا		
oxazolyl)phenyl]oxalamide	W		
N-[2-[4-(2,5-			
Difluorobenzenesulfonyl)-1-		578.1	478
piperazinyl]-1,1-dimethylethyl]-N'-	i i i	3/8.1	4/8
[3-methoxy-4-(5-			
oxazolyl)phenyl]oxalamide	· .		
N-[3-Methoxy-4-(5-	No-F\		
oxazolyl)phenyl]-N'-[1,1-dimethyl-2-	Out Out Of All Prox	546.1	479
[4-(1-methyl-1H-imidazole-4-		340.1	4/9
sulfonyl)-1-	0~ .		
piperazinyl ethyl oxalamide	_		
N-[2-[4-(2,6-	\ \ \		
Difluorobenzenesulfonvl)-1-	a	578.1	480
piperazinyl]-1,1-dimethylethyl]-N'-		570.1	400
[3-methoxy-4-(5-	♦~~ ·		
oxazolyl)phenyl]oxalamide			
N-[2-[4-(3,4-	~		
Difluorobenzenesulfonyl)-1-	at the of Africa	578.1	481
piperazinyl]-1,1-dimethylethyl]-N'-		5, 0.1	401
[3-methoxy-4-(5-			
oxazolyl)phenyl]oxalamide			
N-[2-[4-	200		
(Cyclohexylmethanesulfonyl)-1-	Pr. Incom	562.2	482
piperazinyl]-1,1-dimethylethyl]-N'-	MI TOTAL	502.2	102
[3-methoxy-4-(5-	_J		
oxazolyl)phenyl]oxalamide			
Ozazor, .) prierry i Jozana i i i i i			

N-[3-Methoxy-4-(5-	. 0		
oxazolyl)phenyl]-N'-[1,1-dimethyl-2-	94 94c 04 V	570.1	483
[4-(2-phenylethanesulfonyl)-1-			105
piperazinyl]ethyl]oxalamide	O.		
N-[3-Methoxy-4-(5-	\$ \$"		
oxazolyl)phenyl]-N'-[2-[4-(2,4-	B	538	484
dimethoxyphenyl)-1-piperazinyl]-	apply and an	330	404
1,1-dimethylethyl]oxalamide			
N-[3-Methoxy-4-(5-	(A) OH,		
oxazolyl)phenyl]-N'-[1,1-dimethyl-2-	.,	492	485
[4-(4-methylphenyl)-1-	°\~\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	472	403
piperazinyl]ethyl]oxalamide			1
N-[3-Methoxy-4-(5-	o₁,		
oxazolyl)phenyl]-N'-[1,1-dimethyl-2-		E06	196
[4-(2,4-dimethylphenyl)-1-		506	486
piperazinyl]ethyl]oxalamide			
N-[3-Methoxy-4-(5-	94		
N-[3-Methoxy-4-(3- oxazolyl)phenyl]-N'-[2-[4-(3,4-		520	407
		538	487
dimethoxyphenyl)-1-piperazinyl]-	~ ! "		
1,1-dimethylethyl]oxalamide			
N-[2-(4-Cyclohexyl-1-piperazinyl)-	. ~0		
1,1-dimethylethyl]-N'-[3-methoxy-4-	10 Y Y Y Y Y Y Y Y Y Y Y Y Y Y Y Y Y Y Y	484.4	488
(5-oxazolyl)phenyl]oxalamide	of .		
N-[2-[4-(Cyclohexylmethyl)-1-	~~		
piperazinyl]-1,1-dimethylethyl]-N'-	HQ PHOCH D	498.2	489
[3-methoxy-4-(5-	. D'Y		
oxazolyl)phenyl]oxalamide	(J		
N-[2-[4-(2-Methoxybenzyl)-1-	₹ ⁴⁴ ,		
piperazinyl]-1,1-dimethylethyl]-N'-	~~0	522.1	490
[3-methoxy-4-(5-	* * * * * * * * * * * * * * * * * * *		1
oxazolyl)phenyl]oxalamide	£		
N-[2-[4-(2-Hydroxybenzyl)-1-	7		
piperazinyl]-1,1-dimethylethyl]-N'-	000	508.1	491
[3-methoxy-4-(5-	The state of the s	200.1	
oxazolyl)phenyl]oxalamide	000		
N-[3-Methoxy-4-(5-	HS		
oxazolyl)phenyl]-N'-[1,1-dimethyl-2-		506.1	492
[4-(2-methylbenzyl)-1-	"6~~~\Live	300.1	172
piperazinyl]ethyl]oxalamide	D.		
N-[3-Methoxy-4-(5-	. ~^\$		
oxazolyl)phenyl]-N'-[1,1-dimethyl-2-	HE SHEPT OF W	498.1	493
[4-(2-thenyl)-1-	17Y	470.1	4,7,5
piperazinyl]ethyl]oxalamide	Q ·		
N-[3-Methoxy-4-(5-	0		
oxazolyl)phenyl]-N'-[1,1-dimethyl-2-		520.2	494
[4-(2(RS)-phenylpropyl)-1-	in the contract	320.2	474
piperazinyl ethyl oxalamide	0 ·		
piperazinyijemyijoxaianiide	-		

N-[3-methoxy-4-(5- oxazolyl)phenyl]-N'-[1,1-dimethyl-2- (4-pivaloyl-1- piperazinyl)ethyl]oxalamide	in record	486.1	495
N-[2-[4-(2-Furoyl)-1-piperazinyl]- 1,1-dimethylethyl]-N'-[3-methoxy-4- (5-oxazolyl)phenyl]oxalamide		496.1	496
N-[3-Methoxy-4-(5- oxazolyl)phenyl]-N'-[1,1-dimethyl-2- [4-(2-thenoyl)-1- piperazinyl]ethyl]oxalamide		512.1	497
N-[3-Methoxy-4-(5- oxazolyl)phenyl]-N'-[1,1-dimethyl-2- [4-(3-thenoyl)-1- piperazinyl]ethyl]oxalamide		512	498
N-[2-[4-(2-Cyclopentylacetyl)-1- piperazinyl]-1,1-dimethyl-ethyl]-N'- [3-methoxy-4-(5- oxazolyl)phenyl)]oxalamide	incorp	512.1	499
N-[2-[4-(Cyclohexylcarbonyl)-1- piperazinyl]-1,1-dimethylethyl]-N'- [3-methoxy-4-(5- oxazolyl)phenyl]oxalamide		512.1	500
N-[3-Methoxy-4-(5- oxazolyl)phenyl]-N'-[1,1-dimethyl-2- [4-(2-methylbenzoyl)-1- piperazinyl]ethyl]oxalamide	jorizoi 5	520.1	501
N-[3-Methoxy-4-(5- oxazolyl)phenyl]-N'-[1,1-dimethyl-2- [4-(4-methylbenzoyl)-1- piperazinyl]ethyl]oxalamide	M THE SON	520.1	502
N-[2-[4-(Cycloheptylcarbonyl)-1- piperazinyl]-1,1-dimethylethyl]-N'- [3-methoxy-4-(5- oxazolyl)phenyl]oxalamide		526.2	503
N-[3-Methoxy-4-(5- oxazolyl)phenyl]-N'-]1,1-dimethyl-2- [4-[(1H-pyrazol-4-yl)carbonyl]-1- piperazinyl]ethyl]oxalamide		496.1	504
N-[2-[4-(Cyclopentylcarbonyl)-1- piperazinyl]-1,1-dimethylethyl]-N'- [3-methoxy-4-(5- oxazolyl)phenyl]oxalamide		498.1	505
N-[3-Methoxy-4-(5- oxazolyl)phenyl]-N'-[1,1-Dimethyl- 2-[4-[(1-methyl-1H-pyrrol-2- yl)carbonyl]-1- piperazinyl]ethyl]oxalamide	jorito	509.1	506

N-[3-Methoxy-4-(5-	بالمرا		
oxazolyl)phenyl]-N'-[1,1-dimethyl-2-	mg . 15000	514.1	507
[4-[(1,2,3-thiadiazol-4-yl)carbonyl]-			
1-piperazinyl]-ethyl]oxalamide	\rangle \sqrt{\rangle}		
N-[2-[4-(3-Fluorobenzoyl)-1-	20		
piperazinyl]-1,1-dimethylethyl]-N'-	- INCO	524.1	508
[3-methoxy-4-(5-	, DM .		
oxazolyl)phenyl]oxalamide	LI LI		
N-[2-[4-(4-Fluorobenzoyl)-1-	کم		
piperazinyl]-1,1-dimethylethyl]-N'-	NO PHOPH O	524.1	509
[3-methoxy-4-(5-			
oxazolyl)phenyl]oxalamide	W .		
N-[2-[4-(Cyclopropylcarbonyl)-1-	2		
piperazinyl]-1,1-dimethylethyl]-N'-	MG SHEGH O	470.1	510
[3-methoxy-4-(5-	. TITLE		
oxazolyl)phenyl]oxalamide	O .		
N-[2-[4-(2-Cyclohexylacetyl)-1-	. 0		
piperazinyl]-1,1-dimethylethyl]-N'-	O HORSE C	526.2	511
[3-methoxy-4-(5-			
oxazolyl)phenyl]oxalamide	0		
N-[3-Methoxy-4-(5-	N MS CM		
oxazolyl)phenyl]-N'-[2-[4-(3,3-	ns 9 1997.	500.2	512
dimethylbutyryl)-1-piperazinyl]-1,1-			
dimethylethyl]oxalamide	Q.		
N-[2-[4-(3-Hydroxy-2,2-	Lea		
dimethylpropionyl)-1-piperazinyl]-	na susar Direct	502.1	513
1,1-dimethylethyl]-N'-[3-methoxy-4-			
(5-oxazolyl)phenyl]oxalamide	a,		
N-[3-Methoxy-4-(5-	8 Î		
oxazolyl)phenyl]-N'-[1,1-dimethyl-2-	onen 0 0	510.1	514
[4-(3-methyl-2-furoyl)-1-			
piperazinyl]ethyl]oxalamide	9 .		
N-[3-Methoxy-4-(5-	1.1		
oxazolyl)phenyl]-N'-[1,1-dimethyl-2-		510.1	515
[4-(2-methyl-3-furoyl)-1-	37777		515
piperazinyl]ethyl]oxalamide	9		
N-[3-Methoxy-4-(5-	\$ a.e.		
oxazolyl)phenyl]-N'-[1,1-dimethyl-2-	0 HOPPS OT 1-1	510.1	516
[4-[(5-methyl-1H-pyrazol-3-		310.1	510
yl)carbonyl]-1-	\$~.		
piperazinyl]ethyl]oxalamide			
N-[3-Methoxy-4-(5-	8 Î.		
oxazolyl)phenyl]-N'-[1,1-dimethyl-2-	Julian,	511.1	517
[4-[(5-methyl-4-	3797137	211.1	51,
isoxazolyl)carbonyl]-1-	0.		
piperazinyl]ethyl]oxalamide			
F-F			

N-[3-Methoxy-4-(5-	La		
oxazolyl)phenyl]-N'-[1,1-dimethyl-2-	ng gngan O h-d	511.1	518
[4-[(5-methyl-3-	- YU.		010
isoxazolyl)carbonyl]-1-	U.		
piperazinyl]ethyl]oxalamide			
N-[2-[4-(4-Aminobenzoyl)-1-	200		
piperazinyl]-1,1-dimethylethyl]-N'-	me graces O was	521.1	519
[3-methoxy-4-(5-			į.
oxazolyl)phenyl]oxalamide	₩		
N-[2-[4-(2-Hydroxybenzoyl)-1-	13		
piperazinyl]-1,1-dimethylethyl]-N'-	- P-7-00	522.1	520
[3-methoxy-4-(5-			
oxazolyl)phenyl]oxalamide	Ø.		
N-[2-[4-(4-Hydroxybenzoyl)-1-	ماد		
piperazinyl]-1,1-dimethylethyl]-N'-	ing program of the	522.1	521
[3-methoxy-4-(5-			
oxazolyl)phenyl]oxalamide	V		l
N-[3-Methoxy-4-(5-	ند		
oxazolyl)phenyl]-N'-[1,1-dimethyl-2-	I BUT O'LL	524.1	522
[4-[(2,5-dimethyl-2H-pyrazol-3-			
yl)carbonyl]-1-	l M		
piperazinyl]ethyl]oxalamide			1
N-[3-Methoxy-4-(5-	1		
oxazolyl)phenyl]-N'-[1,1-dimethyl-2-	m into	526.1	523
[4-(3-methyl-2-thenoyl)-1-	, TOY "		
piperazinyl]ethyl]oxalamide	υ		
N-[3-Methoxy-4-(5-	alora.		
oxazolyl)phenyl]-N'-[1,1-dimethyl-2-	" and institution of	526.1	524
[4-(4-methyl-2-thenoyl)-1-	W1.		
piperazinyl]ethyl]oxalamide	ν		
N-[3-Methoxy-4-(5-	~1\f."		
oxazolyl)phenyl]-N'-[1,1-dimethyl-2-	"Sandiwith ""	526.2	525
[4-[(2,2,3,3-tetramethyl-1-			
cyclopropyl)carbonyl]-1-	7		
piperazinyl]ethyl]oxalamide			
N-[3-Methoxy-4-(5-			
oxazolyl)phenyl]-N'-[1,1-dimethyl-2-		528.1	526
[4-[(4-methyl-1,2,3-thiadiazol-5-	ا ليلم ا		
yl)carbonyl]-1-	M ^{ee}		
piperazinyl]ethyl]oxalamide	all all		
N-[2-[4-(3-Cyanobenzoyl)-1-	~\d"	521.1	527
piperazinyl]-1,1-dimethylethyl]-N'- [3-methoxy-4-(5-	"- "	531.1	527
oxazolyl)phenyl]oxalamide	64 !		
N-[2-[4-[(Bicyclo[4.2.0]octa-			
1(6),2,4-trien-7-yl)carbonyl]-1-	jorteoko	532.1	520
piperazinyl]-1,1-dimethylethyl]-N'-		532.1	528
[3-methoxy-4-(5-			
oxazolyl)phenyl]oxalamide	-		
Oxazoiyi)piiciiyi]Oxaiaiiiide			

N-[2-[4-(3-Hydroxybenzoyl)-1-	. Lor"		
piperazinyl]-1,1-dimethylethyl]-N'-	ING BINGER OF W	522.1	529
[3-methoxy-4-(5-			1
oxazolyl)phenyl]oxalamide	\sigma .		
N-[2-[4-(2-Ethylbutyl)-1-	~~~ ai,		
piperazinyl]-1,1-dimethylethyl]-N'-	He Buch Don	486.1	530
[3-methoxy-4-(5-			
oxazolyl)phenyl]oxalamide	N.		
N-[3-Methoxy-4-(5-	0		
oxazolyl)phenyl]-N'-[1,1-dimethyl-2-		506.2	531
[4-(2-phenylethyl)-1-	"Sympliffic	300.2	331
piperazinyl]ethyl]oxalamide	0.		
N-[3-Methoxy-4-(5-	Cook.		
oxazolyl)phenyl]-N'-[1,1-dimethyl-2-	0 HOTEL	490.1	532
[4-[3-(methylthio)propyl]-1-	" The state of the	450.1	332
piperazinyl]ethyl]oxalamide	l ≎~ .		
N-[2-[4-(2,6-Difluorobenzyl)-1-	-		
piperazinyl]-1,1-dimethylethyl]-N'-	~~	530.1	-22
	"8~~1"50)	528.1	533
oxazolyl)phenyl]oxalamide	(A) !		
	-		
N-[2-[4-(3-Furfuryl)-1-piperazinyl]-	aures (T	400.	
1,1-dimethylethyl]-N'-[3-methoxy-4-		482.1	534
(5-oxazolyl)phenyl]oxalamide	()		
N-[2-[4-[(2-Benzofuranyl)methyl]-	~~~		
1-piperazinyl]-1,1-dimethylethyl]-N'-	I NO 9 MESTER OF THE	532.1	535
[3-methoxy-4-(5-		332.1	333
oxazolyl)phenyl)]oxalamide	(j~		
N-[2-[4-(2-Cyanobenzyl)-1-	2		
piperazinyl]-1,1-dimethylethyl]-N'-	and a	517.1	536
[3-methoxy-4-(5-	"sucition"	317.1	336
oxazolyl)phenyl]oxalamide	01 1		
N-[3-Methoxy-4-(5-	HQ CH		
oxazolyl)phenyl]-N'-[2-[4-(3,3-	- Can	406.3	527
dimethylbutyl)-1-piperazinyl]-1,1-	"Land Little	486.2	537
	W.		
dimethylethyl]oxalamide N-[3-Methoxy-4-(5-			
		F42.0	520
oxazolyl)phenyl]-N'-[1,1-dimethyl-2-	"8	543.2	538
[4-[(2-quinolinyl)methyl]-1-	1 0 ° '		
piperazinyl]ethyl]oxalamide	N- OK		
tert-Butyl 4-[2-[[[3-methoxy-4-(5-	~ 0-€04	-1.	
oxazolyl)anilino]oxalyl]amino]-2-		516	539
methylpropyl]-1-piperazineacetate	~~		
4-[2-[[[3-Methoxy-4-(5-			
oxazolyl)anilino]oxalyl]amino]-2-	Endio	460	540
methylpropyl]-1-piperazineacetic		400	340
acid trifluoroacetate (1:1)	\		
		1	

N-[2-[4-(Cyclopropylmethyl)-1- piperazinyl]-1,1-dimethylethyl]-N'- [3-methoxy-4-(5- oxazolyl)phenyl]oxalamide		456	541
tert-Butyl 4-[4-[2-[[[3-methoxy-4- (5-oxazolyl)anilino]oxalyl]amino]-2- methylpropyl]-1-piperazinyl] benzoate	njorithooit	578	651
4-[4-[2-[[[3-Methoxy-4-(5-oxazolyl) anilino]oxalyl]amino]-2- methylpropyl]-1-piperazinyl]benzoic acid trifluoroacetate (1:1)	NOT NOT NOT ON PLON	522	652

Examples 415-420:

In a manner analogous to that described in Example 4 starting with N-[3-(aminomethylphenyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide and the appropriate carboxylic acid chloride compounds shown in table 1h were prepared.

	table 1h		
Name	Structure	ME(ES) (M+H) ⁺	Ex No
Phenyl [3-[[[4-(5- oxazolyl)anilino]oxalyl]amino]benzyl] carbamate		487	415
N-[3-[(3- Fluorobenzamido)methyl]phenyl]-N'- [3-methoxy-4-(5- oxazolyl)phenyl]oxalamide		489	416
N-[3-[(3- Chlorobenzamido)methyl]phenyl]-N'- [3-methoxy-4-(5- oxazolyl)phenyl]oxalamide		505	417
N-[3-[(3- Methoxybenzamido)methyl]phenyl]- N'-[3-methoxy-4-(5- oxazolyl)phenyl]oxalamide	joraya.	501.2	418
N-[3-[(3,4- Dimethoxybenzamido)methyl]phenyl] -N'-[3-methoxy-4-(5- oxazolyl)phenyl]oxalamide		531.2	419

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N-[3-[(3- Cyanobenzamido)methyl]phenyl]-N'- [3-methoxy-4-(5- oxazolyl)phenyl]oxalamide	jyiaja	496.1	420	
-------------------------------------------------------------------------------------------------	--------	-------	-----	--

Examples 421-427 and 598-614:

Typical methods used for the preparation of the compounds of table 1b are described below:

Examples 421 and 423 were prepared by reaction of N-[3-methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(4-piperidinyl)ethyl]oxalamide with the appropriate acylating reagent.

Example 424 was prepared in a manner analogous to that described in Example 1, starting with N-[3-methoxy-4-(5-oxazoyl)phenyl oxalamic acid, prepared as described in Example 1, parts (i) and (ii), and the appropriate amine.

Example 422

N-[3-Methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(phenylthio)ethyl]oxalamide.

- (i) A mixture of 2g (17.7 mmol) of 2,4,4-trimethyl-2-oxazoline and 1.95 g (17.7 mmol) of thiophenol were heated at 120C for 18 hours. After cooling the resulting solid was triturated with diethyl ether/petrol (1:2) and filtered off to give 2.55 g of N-[1,1-dimethyl-2-(phenylthio)ethyl]acetamide as a white solid.
- (ii) A solution of 2.5 g (11.2 mmol) of N-[1,1-dimethyl-2-(phenylthio)ethyl] acetamide, 3.18 g (11.2 mmol) of titanium isopropoxide and 3.09 g (16.8 mmol) of diphenylsilane in 12 ml of tetrahydrofuran were stirred at room temperature for 18 hours. The resulting mixture was chromatographed on silica gel using 3%, 6% and 10% methanol in dichloromethane for the elution. There was obtained 2 g of 1,1-dimethyl-2-(phenylthio)ethylamine as a pale orange oil. The 1,1-dimethyl-2-(phenylthio)ethylamine was then coupled to N-[3-methoxy-4-(5-oxazoyl)phenyl oxalamic acid by a procedure analogous to that described in example 1 to afford N-[3-methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(phenylthio)ethyl]oxalamide. MS: m/e 426 [M+H]⁺.

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Example 427 was prepared by an analogous method to that described for example 422 but using 4-benzyloxythiophenol in place of the thiophenol and removing the protecting group using a mixture of hydrogen bromide in acetic acid.

Example 607 was prepared starting from benzofuran-3-acetic ethyl ester by alkylation iodomethane using potassium tertiary butoxide as base followed by alkaline hydrolysis, Curtius reaction, hydrolysis in ethylene glycol and water at 180°C. The resulting amine was then coupled to N-[3-methoxy-4-(5-oxazoyl)phenyl oxalamic acid as described in Example 1.

Example 426 was prepared in a manner analogous to that described for example 408 in table 1g using tetrahydro quinoline in place of 1-(4-methoxyphenyl)piperazine.

Example 610

 $\label{eq:new_problem} $N-[2-[1-(Methanesulfonyl)-4-piperidinyl]-1,1-dimethylethyl]-N^-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide$

14 mg (0.12 mmol) of methanesulphonyl chloride were added to a solution of 40 mg (0.1 mmol) of N-[3-methoxy-4-(5-oxazolyl)phenyl-N'-[1,1-dimethyl-2-(4-piperidinyl)ethyl]oxalamide in 1 ml of dichloromethane followed by 17 mg (0.15 mmol) of N-ethylmorpholine and the mixture stirred at room temperature for 4 hours. The resulting solution was diluted with ethyl acetate, washed with 2M hydrochloric acid and saturated sodium bicarbonate solution, dried over magnesium sulphate, evaporated to dryness and the residue triturated with diethyl ether. There was obtained 23 mg of N-[2-[1-(methanesulfonyl)-4-piperidinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide as an off-white solid. MS m/e 479 [M+H]*.

The starting material was prepared as follows:

i) A solution of 4.65 g (31 mmol) of alpha, alpha-dimethyl-4-pyridineethylamine, 15.6 g (0.154 mol) of triethylamine and 13.5g (61.9 mmol) of di-tert-butyl dicarbonate in 100 ml of methanol was stirred at room temperature for 2 days then evaporated to dryness. The residue

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was dissolved in ethyl acetate, washed with water, dried over magnesium sulphate, evaporated to dryness and chromatographed on silica gel using ethyl acetate/petrol (2:1) for the elution. There was obtained 2.12 g of tert-butyl [1,1-dimethyl-2-(4-pyridyl)ethyl]carbamate as a pale orange solid. ¹H NMR (400 MHz CDCl₃) δ: 1.29 (6H,s), 1.49 (9H,s), 3.04 (2H,s), 4.30 (1H, br.s), 7.10 (2H,d), 8.52 (2H,d).

- ii) 2.1 g (8.4 mmol) of tert-butyl [1,1-dimethyl-2-(4-pyridyl)ethyl]carbamate, in 20 ml of methanol were hydrogenated with 400 mg of 10% palladium on carbon catalyst at 70° C and 7 Bar for 6 days. The resulting suspension was filtered, evaporated to dryness and the residue triturated with diethyl ether/petrol (1:9) to give 1.2 g of tert-butyl [1,1-dimethyl-2-(4-piperidinyl)ethyl]carbamate as a white solid. 1 H NMR (400 MHz DMSO) δ : 1.18 (6H,s), 1.28-1.41 (2H,m), 1.37 (9H,s), 1.52-1.69 (3H,m), 1.75-1.83 (2H,d), 2.74-2.84 (2H,t), 3.12-3.21 (2H,d), 6.40-6.48 (1H,br.s), 8.60-8.95 (1H,br.s).
- iii) A solution of 1.2 g (4.68 mmol) of tert-butyl [1,1-dimethyl-2-(4-piperidinyl)ethyl] carbamate, 945 mg (9.36 mmol) of triethylamine and 2.33 g (9.36 mmol) of N-(benzyloxycarbonyloxy)succinimide in 20 ml of dichloromethane was stirred at room temperature for 18 hours then washed with 10% citric acid solution and saturated sodium bicarbonate solution. The organic phase was dried over magnesium sulphate, evaporated to dryness and the residue chromatographed on silica gel using ethyl acetate/petrol (1:2) for the elution. There was obtained 1.89 g of benzyl 4-[2-(tert-butoxyformamido)-2-methylpropyl]-1-piperidinecarboxylate. 1 H NMR (400 MHz CDCl₃) δ : 1.15-1.32 (2H,m), 1.29 (6H,s), 1.49 (9H,s), 1.49-1.78 (5H,m), 2.75-2.90 (2H,m), 4.05-4.16 (2H,m), 4.41 (1H,br.s), 5.12 (2H,s), 7.27-7.42 (5H,m).
- iv) A solution of 1.79 g (4.6 mmol) of benzyl 4-[2-(tert-butoxyformamido)-2-methylpropyl]-1-piperidinecarboxylate in 6 ml of trifluoroacetic acid/dichloromethane (1:1) was stirred at room temperature for 5 minutes then evaporated to dryness. The residue was dissolved in 20 ml of dichloromethane along with 1.2 g (4.58 mmol) of N-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamic acid, 1.1 g (5.74 mmol) of 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride, 1.32g (11.5 mmol) of N-ethylmorpholine and 1.1 g (6.9mmol) of 1-hydroxy-7-azabenzotriazole. After stirring overnight the solution was diluted with ethyl acetate, washed with 10% citric acid solution and saturated sodium bicarbonate

solution, dried over magnesium sulphate evaporated to dryness and chromatographed on silica gel using ethyl acetate/petrol (1:1) for the elution. There was obtained 1.14 g of benzyl 4-{2-[[[3-methoxy-4-(5-oxazolyl)phenylamino]oxalyl]amino]-2-methylpropyl}-1-piperidinecarboxylate as a white foam. MS: m/e 535 [M+H]*.

v) A solution of 1.1 g (2.05 mmol) of benzyl 4-{2-[[[3-methoxy-4-(5-oxazolyl)phenylamino]oxalyl]amino]-2-methylpropyl}-1-piperidinecarboxylate in 25 ml of methanol was hydrogenated with 100 mg of 10% palladium on carbon catalyst for 4 hours. The resulting suspension was filtered and evaporated to dryness to give 732 mg of N-[3-methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(4-piperidinyl)ethyl]oxalamide as an off-white solid. MS: m/e 401 [M+H][†].

Example 616 was prepared starting from benzofuran-3-acetic ethyl ester by alkylation iodomethane using potassium tertiary butoxide as base followed by alkaline hydrolysis, Curtius reaction, hydrolysis in ethylene glycol and water at 180°C. The resulting amine was then coupled to N-[3-methoxy-4-(5-oxazoyl)phenyl oxalamic acid as described in Example 1

Example 619

N-[2-[1-(Methanesulfonyl)-4-piperidinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide

14 mg (0.12 mmol) of methanesulphonyl chloride were added to a solution of 40 mg (0.1 mmol) of N-[3-methoxy-4-(5-oxazolyl)phenyl-N'-[1,1-dimethyl-2-(4-piperidinyl)ethyl]oxalamide in 1 ml of dichloromethane followed by 17 mg (0.15 mmol) of N-ethylmorpholine and the mixture stirred at room temperature for 4 hours. The resulting solution was diluted with ethyl acetate, washed with 2M hydrochloric acid and saturated sodium bicarbonate solution, dried over magnesium sulphate, evaporated to dryness and the residue triturated with diethyl ether. There was obtained 23 mg of N-[2-[1-(methanesulfonyl)-4-piperidinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide as an off-white solid. MS m/e 479 [M+H][†].

The starting material was prepared as follows:

- i) A solution of 4.65 g (31 mmol) of alpha, alpha-dimethyl-4-pyridineethylamine, 15.6 g (0.154 mol) of triethylamine and 13.5g (61.9 mmol) of di-tert-butyl dicarbonate in 100 ml of methanol was stirred at room temperature for 2 days then evaporated to dryness. The residue was dissolved in ethyl acetate, washed with water, dried over magnesium sulphate, evaporated to dryness and chromatographed on silica gel using ethyl acetate/petrol (2:1) for the elution. There was obtained 2.12 g of tert-butyl [1,1-dimethyl-2-(4-pyridyl)ethyl]carbamate as a pale orange solid. ¹H NMR (400 MHz CDCl₃) & 1.29 (6H,s), 1.49 (9H,s), 3.04 (2H,s), 4.30 (1H, br.s), 7.10 (2H,d), 8.52 (2H,d).
- ii) 2.1 g (8.4 mmol) of tert-butyl [1,1-dimethyl-2-(4-pyridyl)ethyl]carbamate, in 20 ml of methanol were hydrogenated with 400 mg of 10% palladium on carbon catalyst at 70°C and 7 Bar for 6 days. The resulting suspension was filtered, evaporated to dryness and the residue triturated with diethyl ether/petrol (1:9) to give 1.2 g of tert-butyl [1,1-dimethyl-2-(4-piperidinyl)ethyl]carbamate as a white solid. ¹H NMR (400 MHz DMSO) &: 1.18 (6H,s), 1.28-1.41 (2H,m), 1.37 (9H,s), 1.52-1.69 (3H,m), 1.75-1.83 (2H,d), 2.74-2.84 (2H,t), 3.12-3.21 (2H,d), 6.40-6.48 (1H,br.s), 8.60-8.95 (1H,br.s).
- iii) A solution of 1.2 g (4.68 mmol) of tert-butyl [1,1-dimethyl-2-(4-piperidinyl)ethyl]carbamate, 945 mg (9.36 mmol) of triethylamine and 2.33 g (9.36 mmol) of N-(benzyloxycarbonyloxy)succinimide in 20 ml of dichloromethane was stirred at room temperature for 18 hours then washed with 10% citric acid solution and saturated sodium bicarbonate solution. The organic phase was dried over magnesium sulphate, evaporated to dryness and the residue chromatographed on silica gel using ethyl acetate/petrol (1:2) for the elution. There was obtained 1.89 g of benzyl 4-[2-(tert-butoxyformamido)-2-methylpropyl]-1-piperidinecarboxylate. ¹H NMR (400 MHz CDCl₃) &: 1.15-1.32 (2H,m), 1.29 (6H,s), 1.42 (9H,s), 1.49-1.78 (5H,m), 2.75-2.90 (2H,m), 4.05-4.16 (2H,m), 4.41 (1H,br.s), 5.12 (2H,s), 7.27-7.42 (5H,m).
 - iv) A solution of 1.79 g (4.6 mmol) of benzyl 4-[2-(tert-butoxyformamido)-2-methylpropyl]-1-piperidinecarboxylate in 6 ml of trifluoroacetic acid/dichloromethane (1:1) was stirred at room temperature for 5 minutes then evaporated to dryness. The residue was dissolved in 20 ml of dichloromethane along with 1.2 g (4.58 mmol) of N-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamic acid, 1.1 g (5.74 mmol) of 1-(3-dimethylaminopropyl)-3-

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ethylcarbodiimide hydrochloride, 1.32g (11.5 mmol) of N-ethylmorpholine and 1.1 g (6.9mmol) of 1-hydroxy-7-azabenzotriazole. After stirring overnight the solution was diluted with ethyl acetate, washed with 10% citric acid solution and saturated sodium bicarbonate solution, dried over magnesium sulphate evaporated to dryness and chromatographed on silica gel using ethyl acetate/petrol (1:1) for the elution. There was obtained 1.14 g of benzyl 4-{2-[[[3-methoxy-4-(5-oxazolyl)phenylamino]oxalyl]amino]-2-methylpropyl}-1-piperidinecarboxylate as a white foam. MS: m/e 535 [M+H][†].

v) A solution of 1.1 g (2.05 mmol) of benzyl 4-{2-[[[3-methoxy-4-(5-oxazolyl)phenylamino]oxalyl]amino]-2-methylpropyl}-1-piperidinecarboxylate in 25 ml of methanol was hydrogenated with 100 mg of 10% palladium on carbon catalyst for 4 hours. The resulting suspension was filtered and evaporated to dryness to give 732 mg of N-[3-methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-2-(4-piperidinyl)ethyl]oxalamide as an off-white solid. MS: m/e 401 [M+H]*.

The remaining examples in table 1b were prepared by methods analogous to those described above, as appropriate to the structure, or by methods previously described for related structures.

table 1b

Name	Structure	MS(ES) (M+H) ⁺	Ex No
Benzyl 4-{2-[[[3-methoxy-4-(5-oxazolyl)phenylamino]oxalyl]a mino]-2-methylpropyl}-1- piperidinecarboxylate		535	421
N-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[1,1-dimethyl-2- (phenylthio)ethyl]oxalamide	NO O'CH,	426	422
N-[2-(1-Acetyl-4-piperidinyl)- 1,1-dimethylethyl]-N'-[3- methoxy-4-(5-oxazolyl)phenyl] oxalamide		443	423

N-(2-Cyclohexyl-1,1-dimethylethyl)-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		400	424
N-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[1,1-dimethyl-2-(N-methylanilino)ethyl]oxalamide	NC CON NAC ON NA	423	425
N-[2-(1,2,3,4-Tetrahydro-1- quinolyl)-1,1-dimethylethyl]-N'- [3-methoxy-4-(5-oxazolyl) phenyl]oxalamide	N. John X.	449	426
N-[2-(4-Hydroxyphenylthio)- 1,1-dimethylethyl]-N'-[3- methoxy-4-(5-oxazolyl)phenyl] oxalamide		442	427
N-[3-(4-Hydroxyphenyl)-1,1- dimethylpropyl]-N'-[3- methoxy-4-(5-oxazolyl)phenyl] oxalamide	M. Cor	424	598
N-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[1,1-dimethyl-2-[(1-oxido-4-pyridyl)carboxamido] ethyl]oxalamide	Starixity	454	599
N-[2-(4-Acetylbenzamido)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		479.1	600
N-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[3-[(4- methylbenzamido)methyl]pheny l]oxalamide		485.1	601
N-[3-[(2-Methoxybenzamido) methyl]phenyl]-N'-[3-methoxy- 4-(5-oxazolyl)phenyl]oxalamide		501.1	602
N-[3-[(4-Chlorobenzmido) methyl]phenyl]-N'-[3-methoxy- 4-(5-oxazolyl)phenyl]oxalamide	Solidio.	505.1	603
N-[3-[[(1,3-Benzodioxol-5-yl)carboxamido]methyl]phenyl] -N'-[3-methoxy-4-(5-oxazolyl)phenyl]oxalamide		515.2	604

N-[2-(2,3-Dihydro-1-indolyl)- 1,1-dimethylethyl]-N'-[3- methoxy-4-(5-oxazolyl)phenyl] oxalamide	or o	435	605
N-[2-(3,4-Dihydro-6-methyl- 2H-quinol-1-yl)-1,1- dimethylethyl]-N'-[3-methoxy- 4-(5-oxazolyl)phenyl]oxalamide	PHE OF OR	463	606
N-[1-(3-Benzofuranyl)-1- methylethyl]-N'-[3-methoxy-4- (5-oxazolyl)phenyl]oxalamide	NC CON CONT	420	607
N-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[1,1-dimethyl-3-(4- phenoxypiperidino)propyl]oxala mide	"CLA" O'C	507	608
N-[2-(1-Butyryl-4-piperidinyl)- 1,1-dimethylethyl]-N'-[3- methoxy-4-(5-oxazolyl)phenyl] oxalamide	age of the same of	471	609
N-[2-[1-(Methanesulfonyl)-4- piperidinyl]-1,1-dimethylethyl]- N'-[3-methoxy-4-(5-oxazolyl) phenyl]oxalamide		479	610
N-[2-[1-(Benzenesulfonyl)-4- piperidinyl]-1,1-dimethylethyl]- N'-[3-methoxy-4-(5-oxazolyl) phenyl]oxalamide	as f	541	611
N-[2-(1-Isobutyryl-4- piperidinyl)-1,1-dimethylethyl]- N'-[3-methoxy-4-(5-oxazolyl) phenyl]oxalamide	\$ 150 pt	471	612
tert-Butyl 4-[3-[[[3-methoxy-4- (5-oxazolyl)anilino] oxalyl] amino]-3-methylbutyl]-1- piperidinecarboxylate		515	613
N-[3-Methoxy-4-(5-oxazolyl) phenyl]-N'-[1,1-dimethyl-3-(4- piperidinyl)propyl]oxalamide	Cot, O Co	415	614

Examples 428-432:

Examples 428, 431 and 432 of table 1i were prepared in a manner analogues to that described for example 408 in table 1g but using N-[3-methoxy-4-(4-oxazoyl)phenyl oxalamic acid or N-[3-methoxy-4-(2-methyl-4-oxazoyl)phenyl oxalamic acid in place of N-[3-methoxy-4-(5-oxazoyl)phenyl oxalamic acid for the coupling step.

Examples 429 and 430 of table 1i were prepared by analogues procedures to those described for the preparation of the compounds of table 1f.

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table 1i

Name	Structure	MS(ES) (M+H) ⁺	Ex No
N-[3-Methoxy-4-(4- oxazolyl)phenyl]-N'-[1,1-dimethyl- 2-(4-phenyl-1- piperazinyl)ethyl]oxalamide	antico	478	428
N-[2-(4-Benzyloxyphenyl)-1,1- dimethylethyl]-N'-[3-methoxy-4- (4-oxazolyl)phenyl]oxalamide	antino	500	429
N-[2-(4-Hydroxyphenyl)-1,1- dimethylethyl]-N'-[3-methoxy-4- (4-oxazolyl)phenyl]oxalamide		410	430
N-[3-Methoxy-4-(4- oxazolyl)phenyl]-N'-[2-[4-(4- methoxyphenyl)-1-piperazinyl]- 1,1-dimethylethyl]oxalamide		508	431
N-[3-Methoxy-4-(2-methyl-4-oxazolyl)-phenyl]-N'-[2-[4-(4-methoxyphenyl)-1-piperazinyl]-1,1-dimethylethyl]oxalamide	phylippo	522.4	432

The features disclosed in the foregoing description, or the following claims, or the accompanying drawings, expressed in their specific forms or in terms of a means for performing the disclosed function, or a method or process for attaining the disclosed result, as appropriate, may, separately, or in any combination of such features, be utilised for realising the invention in diverse forms thereof.